

What is claimed is:

1. A compound of the formula  $\text{R}_a - \text{A} - \text{Het} - \text{B} - \text{Ar} - \text{E}$

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wherein

A denotes a carbonyl or sulphonyl group linked to the benzo, pyrido, pyrimido, pyrazino, pyridazino or thieno moiety of the group Het, whilst moreover the abovementioned moieties may not contain an  $\text{R}_1$  group,

B denotes an ethylene group, wherein a methylene group, linked either to the group Het or Ar, may be replaced by an oxygen or sulphur atom or by a sulphinyl, sulphonyl, carbonyl or  $-\text{NR}_1$  group, wherein

$\text{R}_1$  denotes a hydrogen atom or a  $\text{C}_{1-6}$ -alkyl group,

20 E denotes a cyano or  $\text{R}_b\text{NH}-\text{C}(=\text{NH})-$  group wherein

$\text{R}_b$  denotes a hydrogen atom, a hydroxy group, a  $\text{C}_{1-3}$ -alkyl group or a group which may be cleaved *in vivo*,

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Ar denotes a phenylene or naphthylene group optionally substituted by a fluorine, chlorine or bromine atom or by a trifluoromethyl  $\text{C}_{1-3}$ -alkyl or  $\text{C}_{1-3}$ -alkoxy group,

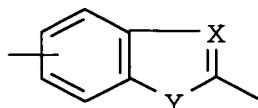
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a thienylene, thiazolylene, pyridinylene, pyrimidinylene, pyrazinylene or pyridazinylene group optionally substituted in the carbon skeleton by a  $\text{C}_{1-3}$ -alkyl group,

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Het denotes a bicyclic heterocycle of formula

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, wherein

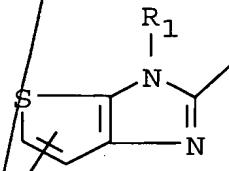
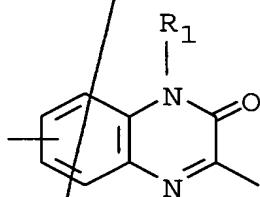
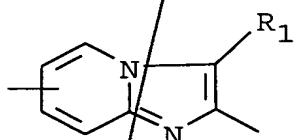
X is a nitrogen atom and

5       Y is an oxygen or sulphur atom or a nitrogen atom  
optionally substituted by a C<sub>1-6</sub>-alkyl or  
C<sub>3-7</sub>-cycloalkyl group, whilst additionally one or two  
non-angular methyne groups in the phenyl moiety of the  
above-mentioned bicyclic heterocycle may each be  
10      replaced by a nitrogen atom,

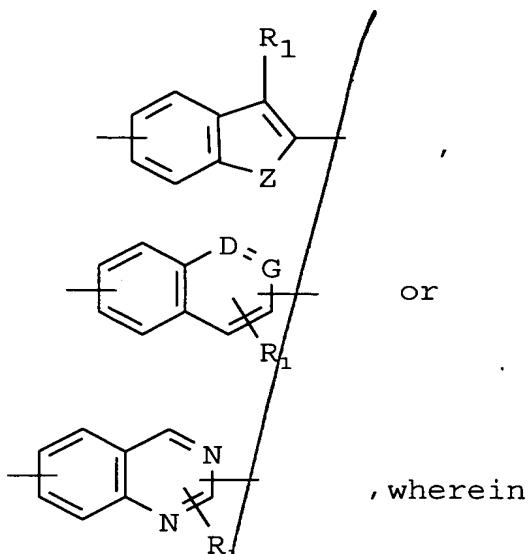
or X denotes a methyne group optionally substituted by  
the group R<sub>1</sub>, wherein R<sub>1</sub> is as hereinbefore defined,  
and

15      Y denotes a nitrogen atom optionally substituted by a  
C<sub>1-6</sub>-alkyl or C<sub>3-7</sub>-cycloalkyl group,

20      or Het denotes a group of the formula



25



, wherein

5

R<sub>1</sub> is as hereinbefore defined,

10

Z denotes an oxygen or sulphur atom,

15

one of the groups D or G denotes a nitrogen atom and  
the other group D or G denotes a methyne group,

20

and R<sub>a</sub> denotes a C<sub>1-6</sub>-alkyl group, a C<sub>3-7</sub>-cycloalkyl group  
optionally substituted by a C<sub>1-3</sub>-alkyl group, wherein the  
C<sub>1-3</sub>-alkyl group may additionally be substituted by a  
carboxyl group or by a group which may be converted *in vivo*  
into a carboxy group,

or an R<sub>2</sub>NR<sub>3</sub>- group wherein

25

R<sub>2</sub> denotes a C<sub>1-4</sub>-alkyl group, which may be substituted  
by a carboxy, C<sub>1-6</sub>-alkyloxycarbonyl, benzyloxycarbonyl,  
C<sub>1-3</sub>-alkylsulphonylaminocarbonyl,  
phenylsulphonylaminocarbonyl, trifluorosulphonylamino,  
trifluorosulphonylaminocarbonyl or 1H-tetrazolyl  
group,

30

a C<sub>2-4</sub>-alkyl group substituted by a hydroxy, phenyl-C<sub>1-3</sub>-alkoxy, carboxy-C<sub>1-3</sub>-alkylamino, C<sub>1-3</sub>-alkoxycarbonyl-C<sub>1-3</sub>-alkylamino, N-(C<sub>1-3</sub>-alkyl)-carboxy-C<sub>1-3</sub>-alkylamino or N-(C<sub>1-3</sub>-alkyl)-C<sub>1-3</sub>-alkoxycarbonyl-C<sub>1-3</sub>-alkylamino group, whilst in the abovementioned groups the carbon atom in the  $\alpha$ -position relative to the adjacent nitrogen atom may not be substituted, or

5  
10 a piperidinyl group optionally substituted by a C<sub>1-3</sub>-alkyl group and

R<sub>3</sub> denotes a hydrogen atom, a C<sub>1-6</sub>-alkyl group, a C<sub>3-7</sub>-cycloalkyl group optionally substituted by a C<sub>1-3</sub>-alkyl group, a C<sub>3-6</sub>-alkenyl or alkynyl group, wherein the unsaturated part may not be linked directly to the nitrogen atom of the R<sub>2</sub>NR<sub>3</sub>- group, a phenyl group optionally substituted by a fluorine, chlorine or bromine atom or by a C<sub>1-3</sub>-alkyl or C<sub>1-3</sub>-alkoxy group, a benzyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyrazolyl, pyridinyl, pyrimidinyl, pyrazinyl, pyridazinyl, pyrrolyl, thienyl or imidazolyl group or

15  
20  
25  
30 R<sub>2</sub> and R<sub>3</sub> together with the nitrogen atom between them denote a 5- to 7-membered cycloalkyleneimino group, optionally substituted by a carboxymethyl or C<sub>1-4</sub>-alkoxycarbonyl group, onto which a phenyl ring may additionally be fused, or a tautomer or salt thereof.

2. A compound of the formula I according to claim 1, wherein

35 A denotes a carbonyl or sulphonyl group linked to the benzo, pyrido, pyrimido, pyrazino, pyridazino or thieno

moiety of the group Het, whilst moreover the abovementioned moieties may not contain an R<sub>1</sub> group,

B denotes an ethylene group, in which a methylene group,  
5 linked either to the group Het or Ar, may be replaced by an oxygen or sulphur atom or by a sulphonyl, sulphonyl, carbonyl or -NR<sub>1</sub>- group, wherein

10 R<sub>1</sub> denotes a hydrogen atom or a C<sub>1-5</sub>-alkyl group,

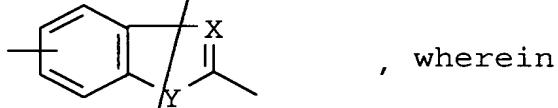
E denotes an R<sub>b</sub>NH-C(=NH)- group wherein

15 R<sub>b</sub> denotes a hydrogen atom, a hydroxy group, a C<sub>1-3</sub>-alkyl group or a group which may be cleaved *in vivo*,

20 Ar denotes a phenylene group optionally substituted by a fluorine, chlorine or bromine atom or by a trifluoromethyl, C<sub>1-3</sub>-alkyl or C<sub>1-3</sub>-alkoxy group,

25 a thienylene, thiazolylene, pyridinylene, pyrimidinylene, pyrazinylene or pyridazinylene group optionally substituted in the carbon skeleton by a C<sub>1-3</sub>-alkyl group,

Het denotes a bicyclic heterocycle of formula



30 X is a nitrogen atom and

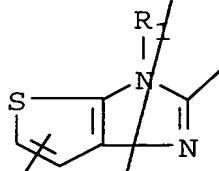
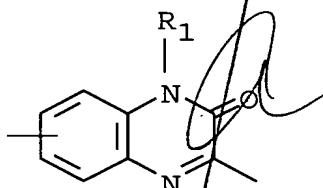
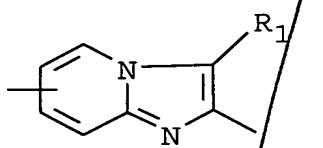
Y is an oxygen or sulphur atom or a nitrogen atom optionally substituted by a C<sub>1-6</sub>-alkyl or C<sub>3-7</sub>-cycloalkyl group, whilst additionally one or two non-angular methyne groups in the phenyl moiety of the

above-mentioned bicyclic heterocycle may each be replaced by a nitrogen atom,

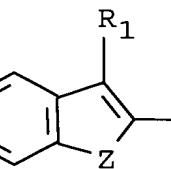
5 or X denotes a methyne group optionally substituted by the group R<sub>1</sub>, wherein R<sub>1</sub> is as hereinbefore defined, and

10 Y denotes a nitrogen atom optionally substituted by a C<sub>1-6</sub>-alkyl or C<sub>3-7</sub>-cycloalkyl group,

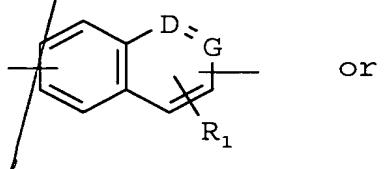
15 or Het denotes a group of the formulae



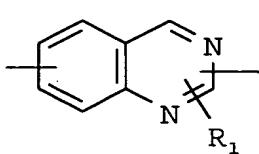
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25



or



5       R<sub>1</sub> is as hereinbefore defined,

Z denotes an oxygen or sulphur atom

10       one of the groups D or G denotes a nitrogen atom and  
the other group D or G denotes a methyne group,

15       and R<sub>a</sub> denotes a C<sub>1-6</sub>-alkyl group, a C<sub>3-7</sub>-cycloalkyl group  
optionally substituted by a C<sub>1-3</sub>-alkyl group, wherein the  
C<sub>1-3</sub>-alkyl group may additionally be substituted by a  
carboxyl group or by a group which may be converted *in vivo*  
20       into a carboxy group,

or a R<sub>2</sub>NR<sub>3</sub>- group wherein

25       R<sub>2</sub> denotes a C<sub>1-4</sub>-alkyl group, which may be substituted  
by a carboxy, C<sub>1-6</sub>-alkyloxycarbonyl, benzyloxycarbonyl,  
C<sub>1-3</sub>-alkylsulphonylaminocarbonyl,  
phenylsulphonylaminocarbonyl, trifluorosulphonylamino,  
trifluorosulphonylaminocarbonyl or 1H-tetrazolyl  
group,

30       a C<sub>2-4</sub>-alkyl group substituted by a hydroxy, phenyl-  
C<sub>1-3</sub>-alkoxy, carboxy-C<sub>1-3</sub>-alkylamino, C<sub>1-3</sub>-  
alkoxycarbonyl-C<sub>1-3</sub>-alkylamino, N-(C<sub>1-3</sub>-alkyl)-carboxy-  
C<sub>1-3</sub>-alkylamino or N-(C<sub>1-3</sub>-alkyl)-C<sub>1-3</sub>-alkoxycarbonyl-C<sub>1-3</sub>-  
alkylamino group, whilst in the abovementioned groups  
the carbon atom in the α-position relative to the  
adjacent nitrogen atom may not be substituted, or

a piperidinyl group optionally substituted by a C<sub>1-3</sub>-alkyl group and

5 R<sub>3</sub> denotes a hydrogen atom, a C<sub>1-6</sub>-alkyl group, a C<sub>3-7</sub>-cycloalkyl group optionally substituted by a C<sub>1-3</sub>-alkyl group, a C<sub>3-6</sub>-alkenyl or alkynyl group, wherein the unsaturated part may not be linked directly to the nitrogen atom of the R<sub>2</sub>NR<sub>3</sub>- group,

10 a phenyl group optionally substituted by a fluorine, chlorine or bromine atom or by a C<sub>1-3</sub>-alkyl or C<sub>1-3</sub>-alkoxy group, a benzyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyrazolyl, pyrrolyl, thienyl, pyridinyl, pyrimidinyl, pyrazinyl, pyridazinyl, 15 imidazolyl or piperidinyl group or

20 R<sub>2</sub> and R<sub>3</sub>, together with the nitrogen atom between them denote a 5- to 7-membered cycloalkyleneimino group, optionally substituted by a carboxymethyl or C<sub>1-4</sub>-alkoxycarbonyl group, onto which additionally a phenyl ring may be fused,

or a tautomer or salt thereof.

25 3. A compound of the formula I according to claim 1, wherein

30 A denotes a carbonyl or sulphonyl group linked to the benzo, pyrido, pyrimido, pyrazino, pyridazino or thieno moiety of the group Het, whilst moreover the abovementioned moieties may not contain an R<sub>1</sub> group,

35 B denotes an ethylene group in which the methylene group linked to the group Ar may be replaced by an oxygen or sulphur atom or by an -NR<sub>1</sub>- group, wherein

R<sub>1</sub> denotes a hydrogen atom or a C<sub>1-4</sub>-alkyl group,

E denotes an R<sub>b</sub>NH-C(=NH)- group wherein

5           R<sub>b</sub> denotes a hydrogen atom, a hydroxy,  
C<sub>1-9</sub>-alkoxycarbonyl, cyclohexyloxycarbonyl, phenyl-  
C<sub>1-3</sub>-alkoxycarbonyl, benzoyl, p-C<sub>1-3</sub>-alkyl-benzoyl or  
pyridinoyl group, whilst the ethoxy moiety in the  
2-position of the abovementioned C<sub>1-9</sub>-alkoxycarbonyl  
10          group may additionally be substituted by a C<sub>1-3</sub>-alkyl-  
sulfonyl or 2-(C<sub>1-3</sub>-alkoxy)-ethyl group,

15          Ar denotes a 1,4-phenylene group optionally substituted by  
a chlorine atom or by a methyl, ethyl or methoxy group or  
it denotes a 2,5-thienylene group,

20          Het denotes a 1-(C<sub>1-3</sub>-alkyl)-2,5-benzimidazolylene, 1-  
cyclopropyl-2,5-benzimidazolylene, 2,5-benzothiazolylene,  
1-(C<sub>1-3</sub>-alkyl)-2,5-indolylene, 1-(C<sub>1-3</sub>-alkyl)-  
2,5-imidazo[4,5-b]pyridinylene, 3-(C<sub>1-3</sub>-alkyl)-  
2,7-imidazo[1,2-a]pyridinylene or 1-(C<sub>1-3</sub>-alkyl)-  
2,5-thieno[2,3-d]imidazolylene group and

25          R<sub>a</sub> denotes an R<sub>2</sub>NR<sub>3</sub>- group wherein

30          R<sub>2</sub> is a C<sub>1-4</sub>-alkyl group substituted by a carboxy,  
C<sub>1-6</sub>-alkyloxycarbonyl, benzyloxycarbonyl,  
C<sub>1-3</sub>-alkylsulphonylaminocarbonyl or 1H-tetrazol-5-yl  
group,

35          a C<sub>2-4</sub>-alkyl group substituted by a hydroxy, benzyloxy,  
carboxy-C<sub>1-3</sub>-alkylamino, C<sub>1-3</sub>-alkoxycarbonyl-  
C<sub>1-3</sub>-alkylamino, N-(C<sub>1-3</sub>-alkyl)-carboxy-C<sub>1-3</sub>-alkylamino  
or N-(C<sub>1-3</sub>-alkyl)-C<sub>1-3</sub>-alkoxycarbonyl-C<sub>1-3</sub>-alkylamino  
group, whilst in the abovementioned groups the carbon

atom in the  $\alpha$ -position to the adjacent nitrogen atom may not be substituted,

R<sub>3</sub> denotes a C<sub>3-7</sub>-cycloalkyl group, a propargyl group, wherein the unsaturated part may not be linked directly to the nitrogen atom of the R<sub>2</sub>NR<sub>3</sub> group, a phenyl group optionally substituted by a fluorine or chlorine atom, or by a methyl or methoxy group, a pyrazolyl, pyridazolyl or pyridinyl group optionally substituted by a methyl group or

R<sub>2</sub> and R<sub>3</sub> together with the nitrogen atom between them denote a 5- to 7-membered cycloalkyleneimino group, optionally substituted by a carboxy or C<sub>1-4</sub>-alkoxycarbonyl group, to which a phenyl ring may additionally be fused,

or a tautomer or salt thereof

4. A compound of the formula I according to claim 1, wherein

A denotes a carbonyl or sulphonyl group linked to the benzo, pyrido or thieno moiety of the group Het, whilst moreover the abovementioned moieties may not contain an R<sub>1</sub> group,

B denotes an ethylene group in which the methylene group linked to the group Ar may be replaced by an oxygen or sulphur atom or by an -NR<sub>1</sub>- group, wherein

R<sub>1</sub> denotes a hydrogen atom or a methyl group,

E denotes an R<sub>b</sub>NH-C(=NH)- group, wherein

R<sub>b</sub> denotes a hydrogen atom or a hydroxy, C<sub>1-9</sub>-alkoxycarbonyl, cyclohexyloxycarbonyl, benzyloxycarbonyl, benzoyl, p-C<sub>1-3</sub>-alkylbenzoyl or nicotinoyl group, whilst the ethoxy moiety in the 2-position of the abovementioned C<sub>1-9</sub>-alkoxycarbonyl group may additionally be substituted by a C<sub>1-3</sub>-alkylsulphonyl or 2-(C<sub>1-3</sub>-alkoxy)-ethyl group,

Ar denotes a 1,4-phenylene group optionally substituted by a chlorine atom or by a methyl, ethyl or methoxy group, or it denotes a 2,5-thienylene group,

Het denotes a 1-methyl-2,5-benzimidazolylene, 1-cyclopropyl-2,5-benzimidazolylene, 2,5-benzothiazolylene, 1-methyl-2,5-indolylene, 1-methyl-2,5-imidazo[4,5-b]pyridinylene, 3-methyl-2,7-imidazo[1,2-a]pyridinylene or 1-methyl-2,5-thieno[2,3-d]imidazolylene group and

R<sub>a</sub> denotes a R<sub>2</sub>NR<sub>3</sub>- group wherein

R<sub>2</sub> denotes a C<sub>1-3</sub>-alkyl group which may be substituted by a carboxy, C<sub>1-6</sub>-alkyloxycarbonyl, benzyloxycarbonyl, methylsulphonylaminocarbonyl or 1H-tetrazol-5-yl group, a C<sub>2-3</sub>-alkyl group substituted by a hydroxy, benzyloxy, carboxy-C<sub>1-3</sub>-alkylamino, C<sub>1-3</sub>-alkoxycarbonyl-C<sub>1-3</sub>-alkylamino, N-(C<sub>1-3</sub>-alkyl)-carboxy-C<sub>1-3</sub>-alkylamino or N-(C<sub>1-3</sub>-alkyl)-C<sub>1-3</sub>-alkoxycarbonyl-C<sub>1-3</sub>-alkylamino group, whilst in the abovementioned groups the carbon atom in the α-position to the adjacent nitrogen atom may not be substituted, and

R<sub>3</sub> denotes a propargyl group, wherein the unsaturated moiety may not be linked directly to the nitrogen atom of the R<sub>2</sub>NR<sub>3</sub> group, a phenyl group optionally

substituted by a fluorine or chlorine atom, or by a methyl or methoxy group or it denotes a pyridinyl group,

5 or a tautomer or salt thereof.

5. A compound of the formula I according to claim 1,  
wherein

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A denotes a carbonyl group linked to the benzo or thieno moiety of the group Het,

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B denotes an ethylene group wherein the methylene group attached to the group Ar may be replaced by an -NR<sub>1</sub> group, whilst

R<sub>1</sub> denotes a hydrogen atom or a methyl group,

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E denotes an R<sub>b</sub>NH-C(=NH)- group wherein

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R<sub>b</sub> is a hydrogen atom, a hydroxy, C<sub>1-9</sub>-alkoxycarbonyl, cyclohexyloxycarbonyl, benzyloxycarbonyl, benzoyl, p-C<sub>1-3</sub>-alkyl-benzoyl or nicotinoyl group, whilst the ethoxy moiety in the 2-position of the abovementioned C<sub>1-9</sub>-alkoxycarbonyl group may additionally be substituted by a methylsulfonyl or 2-ethoxy-ethyl group,

30

Ar denotes a 1,4-phenylene group optionally substituted by a methoxy group or it denotes a 2,5-thienylene group,

35

Het denotes a 1-methyl-2,5-benzimidazolylene, 2,5-benzothiazolylene, 1-methyl-2,5-indolylene or 1-methyl-2,5-thieno[2,3-d]imidazolylene group and

R<sub>a</sub> denotes an R<sub>2</sub>NR<sub>3</sub>- group wherein

R<sub>2</sub> denotes a C<sub>1-3</sub>-alkyl group which may be substituted by a carboxy, C<sub>1-6</sub>-alkyloxycarbonyl, benzyloxycarbonyl, methylsulfonylaminocarbonyl or 1H-tetrazol-5-yl group,

5                   a C<sub>2-3</sub>-alkyl group substituted by a hydroxy, benzyloxy, carboxy-C<sub>1-3</sub>-alkylamino, C<sub>1-3</sub>-alkoxycarbonyl-C<sub>1-3</sub>-alkylamino, N-(C<sub>1-3</sub>-alkyl)-carboxy-C<sub>1-3</sub>-alkylamino or N-(C<sub>1-3</sub>-alkyl)-C<sub>1-3</sub>-alkoxycarbonyl-C<sub>1-3</sub>-alkylamino group, whilst in the abovementioned groups the carbon atom in the α-position to the adjacent nitrogen atom may not be substituted, and

10                 R<sub>3</sub> denotes a phenyl group optionally substituted by a fluorine atom, or it denotes a 2-pyridinyl group,  
15                 or a tautomer or salt thereof.

20                 6. A compound selected from the group consisting of:

(a) 2-[N-(4-amidinophenyl)-aminomethyl]-benzthiazole-5-carboxylic acid-N-phenyl-N-(2-carboxyethyl)-amide,

25                 (b) 2-[N-(4-midinophenyl)-N-methyl-aminomethyl]-benzthiazol-5-yl-carboxylic acid-N-phenyl-N-(2-hydroxycarbonylethyl)-amide,

30                 (c) 1-Methyl-2-[N-(4-amidinophenyl)-aminomethyl]-benzimidazol-5-yl-carboxylic acid-N-phenyl-N-(2-hydroxycarbonylethyl)-amide,

35                 (d) 1-Methyl-2-[N-(4-amidinophenyl)-aminomethyl]-benzimidazol-5-yl-carboxylic acid-N-phenyl-N-(3-hydroxycarbonylpropyl)-amide,

- (e) 1-Methyl-2-[N-(4-amidinophenyl)-aminomethyl]-benzimidazol-5-yl-carboxylic acid-N-(2-pyridyl)-N-(hydroxycarbonylmethyl)-amide,
- 5
- (f) 1-Methyl-2-[2-(2-amidinothiophen-5-yl)ethyl]-benzimidazol-5-yl-carboxylic acid-N-(2-pyridyl)-N-(2-hydroxycarbonylethyl)-amide,
- 10 (g) 1-Methyl-2-[N-(4-amidinophenyl)-aminomethyl]-benzimidazol-5-yl-carboxylic acid-N-(2-pyridyl)-N-(2-hydroxycarbonylethyl)-amide,
- 15 (h) 1-Methyl-2-[2-(4-amidinophenyl)ethyl]-benzimidazol-5-yl-carboxylic acid-N-(2-pyridyl)-N-(2-hydroxycarbonylethyl)-amide,
- 20 (i) 1-Methyl-2-[2-(4-amidinophenyl)ethyl]-benzimidazol-5-yl-carboxylic acid-N-phenyl-N-(2-hydroxycarbonylethyl)-amide,
- 25 (j) 1-Methyl-2-[2-(4-amidinophenyl)ethyl]-benzimidazol-5-yl-carboxylic acid-N-phenyl-N-[2-(1H-tetrazol-5-yl)ethyl]-amide,
- 30 (l) 1-Methyl-2-[N-(4-amidinophenyl)-N-methyl-aminomethyl]-benzimidazol-5-yl-carboxylic acid-N-(2-pyridyl)-N-(2-hydroxycarbonylethyl)-amide,
- 35 (m) 1-Methyl-2-[N-(4-amidinophenyl)-N-methyl-aminomethyl]-benzimidazol-5-yl-carboxylic acid-N-(3-pyridyl)-N-(2-hydroxycarbonylethyl)-amide,

- (n) 1-Methyl-2-[N-(4-amidinophenyl)-N-methyl-aminomethyl]-benzimidazol-5-yl-carboxylic acid-N-phenyl-N-(2-hydroxycarbonylethyl)-amide,
- 5 (o) 1-Methyl-2-[N-(4-amidinophenyl)-aminomethyl]-benzimidazol-5-yl-carboxylic acid-N-phenyl-N-[N-hydroxycarbonylethyl-N-methyl]-2-aminoethyl]-amide,
- 10 (p) 1-Methyl-2-[N-(4-amidinophenyl)-aminomethyl]-benzimidazol-5-yl-carboxylic acid-N-(3-fluorophenyl)-N-(2-hydroxycarbonylethyl)-amide,
- 15 (q) 1-Methyl-2-[N-(4-amidinophenyl)-aminomethyl]-benzimidazol-5-yl-carboxylic acid-N-(4-fluorophenyl)-N-(2-hydroxycarbonylethyl)-amide,
- 20 (r) 1-Methyl-2-[N-(4-amidino-2-methoxy-phenyl)-aminomethyl]-benzimidazol-5-yl-carboxylic acid-N-phenyl-N-(2-hydroxycarbonylethyl)-amide,
- (s) 1-Methyl-2-[N-(4-amidino-2-methoxy-phenyl)-aminomethyl]-benzimidazol-5-yl-carboxylic acid-N-(2-pyridyl)-N-(2-hydroxycarbonylethyl)-amide,
- 25 (t) 1-Methyl-2-[N-(4-amidinophenyl)aminomethyl]-indol-5-yl-carboxylic acid-N-phenyl-N-(2-methoxycarbonylethyl)-amide  
and
- 30 (u) 1-Methyl-2-[N-(4-amidinophenyl)aminomethyl]-thieno[2.3-d]imidazol-5-yl-carboxylic acid-N-phenyl-N-(2-hydroxycarbonylethyl)-amide,  
or a prodrug, double prodrug or salt thereof.

7. 1-Methyl-2-[N-(4-amidinophenyl)-aminomethyl]-benzimidazol-5-yl-carboxylic acid-N-phenyl-N-(2-hydroxycarbonylethyl)-amide, or a prodrug, double prodrug or salt thereof.

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8. 1-Methyl-2-[N-(4-amidinophenyl)-aminomethyl]-benzimidazol-5-yl-carboxylic acid-N-(2-pyridyl)-N-(2-hydroxycarbonylethyl)-amide or a prodrug, double prodrug or  
10 salt thereof.

9. 1-Methyl-2-[N-(4-amidino-2-methoxy-phenyl)-aminomethyl]-benzimidazol-5-yl-carboxylic acid-N-(2-pyridyl)-N-(2-hydroxycarbonylethyl)-amide, or a prodrug,  
15 double prodrug or salt thereof.

10. 1-Methyl-2-[N-[4-(N-n-hexyloxycarbonylamidino)phenyl]aminomethyl]-benzimidazol-5-yl-carboxylic acid-N-(2-pyridyl)-N-(2-ethoxycarbonylethyl)-amide.  
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25 11. A physiologically acceptable salt of a compound according to claim 1, 2, 3, 4, 5, 6, 7, 8, 9, or 10 wherein E denotes an R<sub>b</sub>NH-C(=NH)- group.

30 12. A pharmaceutical composition containing a compound according to claim 1, 2, 3, 4, 5, 6, 7, 8, 9, or 10, wherein E denotes an R<sub>b</sub>NH-C(=NH)- group, or a physiologically acceptable salt thereof, together with a pharmaceutically acceptable carrier or diluent.  
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13. A method for preventing or treating venous and arterial thrombotic disease which comprises administering an antithrombotic amount of a compound according claim 1, 2, 3, 4, 5, 6, 7, 8, 9, or 10, wherein E denotes an  
5  $R_b$ NH-C(=NH)- group, or a physiologically acceptable salt thereof.

14. The method of claim 13 wherein said thrombotic disease  
10 is selected from the group consisting of deep leg vein thrombosis, reocclusion after a bypass operation or angioplasty (PT(C)A), occlusion in peripheral arterial disease, pulmonary embolism, disseminated intravascular coagulation, coronary thrombosis, stroke, and the occlusion  
15 of a shunt or stent.

15. A method for providing antithrombotic support in thrombolytic treatment utilizing rt-PA or streptokinase,  
20 which comprises administering a therapeutically effective amount of a compound according claim 1, 2, 3, 4, 5, 6, 7, 8, 9, or 10, wherein E denotes an  $R_b$ NH-C(=NH)- group, or a physiologically acceptable salt thereof.

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16. A method for preventing metastasis or the growth of clot-dependent tumours, which comprises administering a therapeutically effective amount of a compound according claim 1, 2, 3, 4, 5, 6, 7, 8, 9, or 10, wherein E denotes  
30 an  $R_b$ NH-C(=NH)- group, or a physiologically acceptable salt thereof.

17. A method for treating or preventing fibrin-dependent inflammatory processes, which comprises administering a therapeutically effective amount of a compound according to claim 1, 2, 3, 4, 5, 6, 7, 8, 9, or 10, wherein E denotes  
5 an  $R_bNH-C(=NH)-$  group, or a physiologically acceptable salt thereof.

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